WEST Search History

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DATE: Monday, December 03, 2007

Hide?	Set Name	Query	Hit Count
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☐	L4	L3 and pitzele	1
☐	L3	L2 and spangler	3
☐	L2	L1 and nagy	4
☐	L1	(becker and grapperhaus).in.	4

END OF SEARCH HISTORY

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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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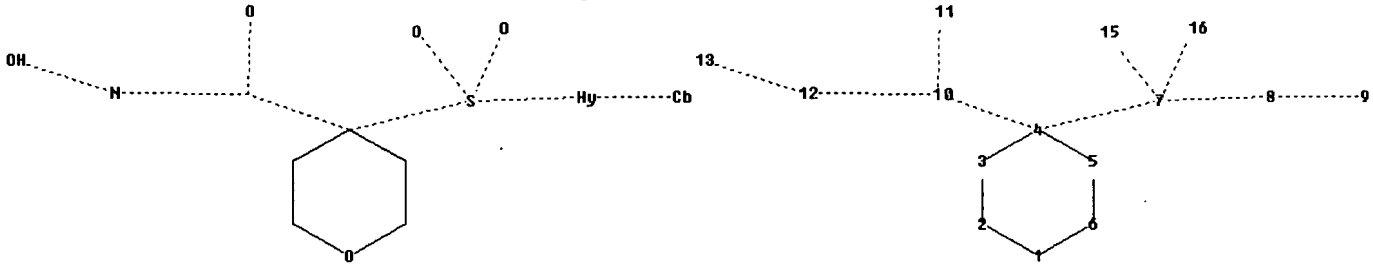


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ring nodes :
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chain bonds :
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ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
4-7  4-10  7-8  7-16  7-15  8-9  10-11  10-12  12-13
exact bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:Atom  9:Atom  10:CLASS
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Generic attributes :
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L5            1 L4 AND PD< NOV 2002

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L5   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   1999:388166  CAPLUS  Full-text
DN   131:44740
TI   Preparation of N-hydroxytetrahydropyridylsulfonylacetamides and related
     compounds as matrix metalloprotease inhibitors.
IN   Dack, Kevin Neil; Whitlock, Gavin Alistair
PA   Pfizer Limited, UK; Pfizer Inc.
SO   PCT Int. Appl., 149 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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PI   WO 9929667            A1    19990617    WO 1998-EP6640          19981009 <--
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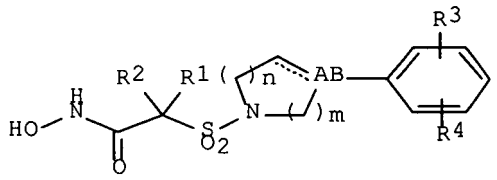


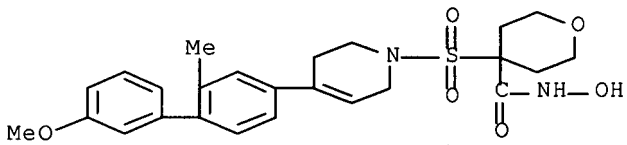
I

AB Title compds. [I; dotted line = optional double bond; A = C, CH; B = CH2, O, null; R1, R2 = H, (substituted) alkyl, alkenyl; R1R2C = (benzo-fused) C3-6 cycloalkyl group optionally incorporating O, SO, SO2, NR6; R3 = H, halo, R7, OR7; R4 = H, alkyl, alkoxy, CF3, halo; R6 = H, alkyl; R7 = (substituted) mono- or bicyclic ring system; m = 1, 2; n = 0-2; with the proviso that B is not O when A is C], were prepared as MMP inhibitors useful in the treatment of tissue ulceration, wound repair and skin diseases. Thus, Me 2-[4-(3-methyl-4-phenylphenyl)-1,2,3,6-tetrahydropyridin-1- ylsulfonyl]acetate (preparation given) was refluxed with NH2OH.HCl and K2CO3 in THF/MeOH to give N-hydroxy-2-[4-(3-methyl-4-phenylphenyl)-1,2,3,6- tetrahydropyridin-1-ylsulfonyl]acetamide. The latter inhibited matrix metalloproteinase 3 with IC50 = 16 nM.

IT 227304-22-5P 227304-26-9P 227304-35-0P
227304-36-1P 227304-51-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-hydroxytetrahydropyridylsulfonylacetamides and related compds. as matrix metalloprotease inhibitors)

RN 227304-22-5 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[3,6-dihydro-4-(3'-methoxy-2-methyl[1,1'-biphenyl]-4-yl)-1(2H)-pyridinyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



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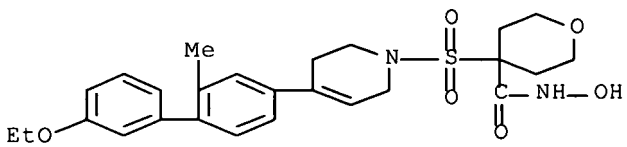

RN 227304-35-0 CAPLUS

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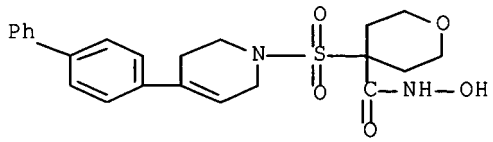
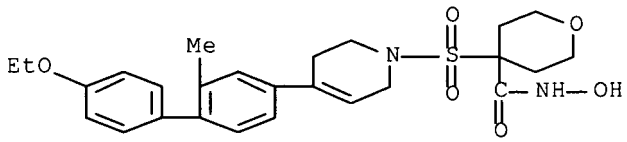


RN 227304-36-1 CAPLUS
CN 2H-Pyran-4-carboxamide, 4-[[4-(4'-ethoxy-2-methyl[1,1'-biphenyl]-4-yl)-3,6-dihydro-1(2H)-pyridinyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



RN 227304-51-0 CAPLUS
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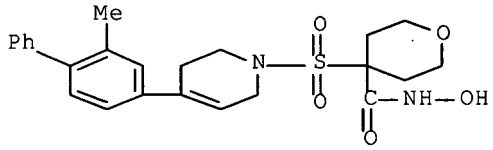

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 1 L4 NOT L5

=> dis l6 bib abs fhitstr

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:467885 CAPLUS Full-text
DN 141:38527
TI Preparation of heteroarylsulfonylmethyl hydroxamic acids and amides and their use as protease inhibitors
IN Becker, Daniel P.; Carroll, Jeffery N.; Fobian, Yvette M.; Grapperhaus, Margaret L.; Hansen, Donald W., Jr.; Heintz, Robert M.; Kassab, Darren J.; Massa, Mark A.; McDonald, Joseph J.; Nagy, Mark A.; Pitzele, Barnett S.; Rico, Joseph G.; Schmidt, Michelle A.; Spangler, Dale P.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

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	AU 2003300800	A1	20040618	AU 2003-300800	20031124
	EP 1565459	A2	20050824	EP 2003-812052	20031124
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OS MARPAT 141:38527

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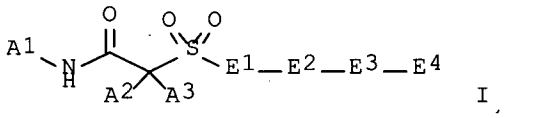

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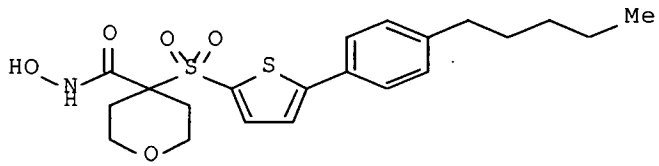


II

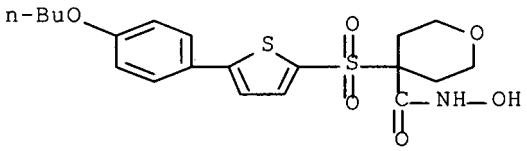
AB Title compds. I [wherein A1 = H, OH, cycloalkyloxy, heterocyclyloxy; A2, A3 = independently H, (un)substituted (cyclo)alkyl(thio), alkenyl, alkynyl, heterocyclyl, etc.; or CA2A3 = (un)substituted cycloalkyl, heterocyclyl, such as tetrahydropyranyl; E1 = (un)substituted heteroaryl; E2 = (un)substituted cycloalkyl; E3 = a bond, O, CO, CO2, OCO, S, SO, SO2, OSO2, SO2O, C(=NH), C(=NOH), (un)substituted NH, CONH, NHCO, CONHNHCO, NHCONH, NHSO2, SO2NH, NHC(=NH), NHC(=NOH), C(=NH)NH, C(=NOH)NH, (carbonyl)alkyl, alkenyl, alkanoyl; E4 = H, halo, CN, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl; and salts thereof] were prepared as inhibitors of protease activity, particularly matrix metalloproteinase (MMP), TNF-α convertase, or aggrecanase activity. For example, coupling of 2-thiopheneboronic acid with 4-butoxybromobenzene gave 2-(4-butoxyphenyl)thiophene (58%), which was treated

with Me disulfide and Oxone to afford the 5-(methylsulfonyl)thiophene derivative (58%). Reaction of the Me sulfone with t-Bu carboxylate anhydride using lithium bis(trimethylsilyl)amide provide the tert-Bu α-(thienylsulfonyl)acetate (89%). Tert-Bu 4-[[5-(4-butoxyphenyl)thien-2-yl]sulfonyl]tetrahydro-2H-pyran-4-carboxylate (91%) was produced by cycloaddn. of the acetate with bis(bromoethyl) ether in the presence of 18-crown-6. Deesterification (85%) with TFA, followed by amidation (100%) with O-(tetrahydro-2H-pyran-2-yl)hydroxylamine and O-deprotection (74%) with HCl gave II. The latter inhibited the human recombinant MMP-1, MMP-2, MMP-9, MMP-13, and MMP-14 cleavage of peptide substrates with Ki values of >1250 nM, 0.483 nM, 0.806 nM, 0.127 nM, and 466 nM, resp. Thus, I and their pharmaceutical compns. are useful for treating tissue destruction, fibrotic diseases, matrix weakening, defective injury repair, cardiovascular disease, pulmonary disease, kidney disease, liver disease, ophthalmol. disease, and/or CNS diseases (no data).

IT 701270-37-3P, 4-[[5-(4-Butoxyphenyl)thien-2-yl]sulfonyl]-N-hydroxytetrahydro-2H-pyran-4-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protease inhibitor; heteroarylsulfonylmethyl hydroxamic acids and amides and their use as protease inhibitors)

RN 701270-37-3 CAPLUS

CN 2H-Pyran-4-carboxamide, 4-[[5-(4-butoxyphenyl)-2-thienyl]sulfonyl]tetrahydro-N-hydroxy- (CA INDEX NAME)



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